10/502,538

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L9	469	5-bromo-1H$	US-PGPUB; USPAT	OR	OFF	2007/04/27 17:06
L10	244	5-bromo-2,3-dihydro$	US-PGPUB; USPAT	OR	OFF	2007/04/27 17:06
L12	832	(546/113).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/04/27 17:07
L13	0	("l12and(l9orl10)").PN.	US-PGPUB; USPAT	OR	OFF	2007/04/27 17:07
L14	50	l12 and (l9 or l10)	US-PGPUB; USPAT	OR	OFF	2007/04/27 17:08

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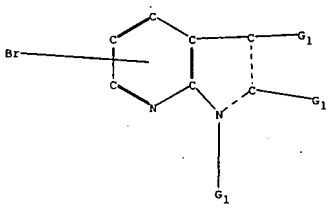

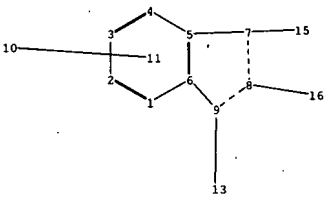

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10 13 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
7-15 8-16 9-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-15 8-9 8-16 9-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:CH3,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 13:CLASS 15:CLASS 16:CLASS

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SAMPLE SCREEN SEARCH COMPLETED -      613 TO ITERATE

100.0% PROCESSED      613 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       10775 TO    13745
PROJECTED ANSWERS:              2 TO      124

L2             2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:58:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    12303 TO ITERATE

100.0% PROCESSED    12303 ITERATIONS                              17 ANSWERS
SEARCH TIME: 00.00.01

L3            17 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               173.90     174.11

FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
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FILE COVERS 1907 - 27 Apr 2007  VOL 146 ISS 19
FILE LAST UPDATED: 26 Apr 2007  (20070426/ED)

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=> s l3
L4             66 L3

=> s l4/p
FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a
field code.  You can only add a field code to a term that has no field
code appended to it.
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L5          35 L3/P

=> s l5 and ?indoline/ab,bi
          5817 ?INDOLINE/AB
         10653 ?INDOLINE/BI
L6           6 L5 AND ?INDOLINE/AB,BI

=> d l6 1-6 bib abs hitstr

L6   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:756718  CAPLUS
DN   141:260554
TI   Preparation of azaindoline intermediates with novel
     amino-protecting groups such as TBS-protected 5-bromo-7-
     azaindoline, and their applications to the synthesis of
     5-substituted 7-azaindolines and 7-azaindoles
IN   Graczyk, Piotr; Khan, Afzal; Bhatia, Gurpreet
PA   Eisai London Research Laboratories Limited, UK; Eisai Co., Ltd.
SO   PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2004078757        A2    20040916    WO 2004-GB946           20040305
     WO 2004078757        A3    20050901
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1633750           A2    20060315    EP 2004-717703          20040305
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
     JP 2006520771        T     20060914    JP 2006-505923          20040305
     US 2006235042        A1    20061019    US 2006-548162          20060313
PRAI GB 2003-5142         A     20030306
     WO 2004-GB946        W     20040305
OS   CASREACT 141:260554; MARPAT 141:260554
GI
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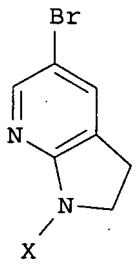

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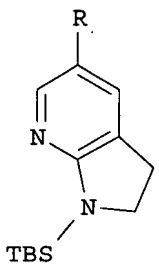

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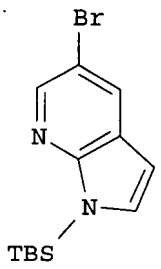
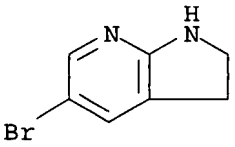


III

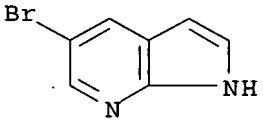
AB The invention provides a novel substituted azaindoline intermediate of formula I, wherein X is an amino-protecting group except Cbz, such as PhC(O)CH2-, CH2=CH-, ClCH2CH2-, Ph3C-, Ph2(4-pyridyl)C-, Me2N-, HOCH2-, t-BuOC(O)CH2-, Me2NCH2-, PhSO2-, and TBS, and a method for its synthesis. The intermediate I is provided for use in the manufacture of 5-substituted 7-azaindolines and 5-substituted 7-azaindoles. The key finding of this invention is that amino-protecting groups play a crucial role in the preparation of the intermediates and subsequent chemical transformations into various azaindol(in)es in an efficient and cost-effective way. The usefulness of TBS as protecting group has been fully demonstrated. For example, 7-azaindole was reduced to 7-azaindoline with HCOOH and Et3N in the presence of Pd/C, and the product was silylated with TBSCl followed by bromination with bromine in pyridine to give intermediate II (R = Br). Lithiation of II (R = Br) with t-BuLi followed by the addition of electrophiles such as DMF afforded various derivs. such as II (R = CHO), which were further oxidized to their azaindole counterparts. Conversions of the bromine atom of II (R = Br) to stannyl or silyl groups via metal-exchange, and further applications were given. Oxidation of II (R = Br) with DDQ led to azaindole intermediate III, whose chemical in reactions such as the Suzuki and Stille reactions was shown.

IT 115170-40-6P 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of silyl azaindolines and their applications to the synthesis of 5-substituted 7-azaindolines and 7-azaindoles)

RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:610442 CAPLUS
DN 139:164806
TI Preparation of quinazolines as VEGF receptor inhibitors
IN Hennequin, Laurent Francois Andre
PA AstraZeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2003064413	A1	20030807	WO 2003-GB343	20030128
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	CA 2473572	A1	20030807	CA 2003-2473572	20030128
	EP 1474420	A1	20041110	EP 2003-700951	20030128
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	US 2005085465	A1	20050421	US 2003-502538	20030128
	HU 200402588	A2	20050530	HU 2004-2588	20030128
	CN 1625555	A	20050608	CN 2003-803124	20030128
	JP 2005522428	T	20050728	JP 2003-564036	20030128
	IN 2004DN02016	A	20050401	IN 2004-DN2016	20040714
	NO 2004003162	A	20040722	NO 2004-3162	20040722
	ZA 2004005908	A	20050926	ZA 2004-5908	20040723
PRAI	EP 2002-290242	A	20020201		
	WO 2003-GB343	W	20030128		

OS CASREACT 139:164806; MARPAT 139:164806

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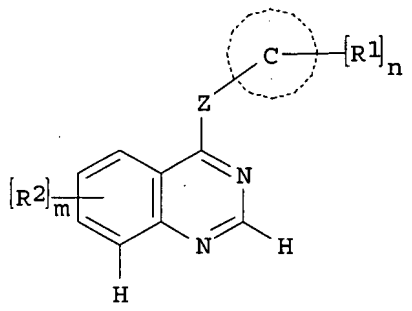

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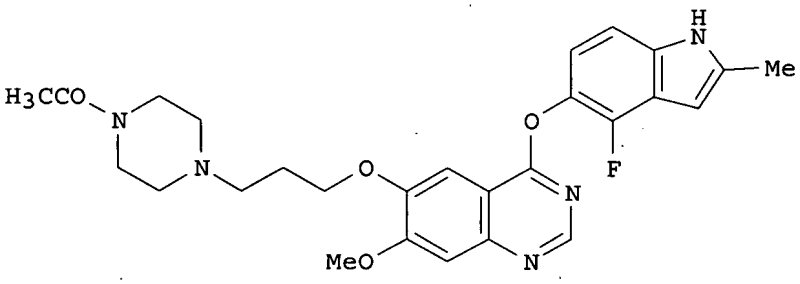


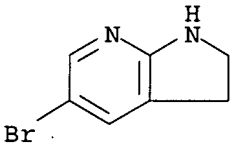
II

AB The title compds. [I; ring C = indolyl, indazolyl or azaindolyl; Z = O, NH, S; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.; R1 = H, halo, oxo, OH, etc.], useful in the manufacture of a medicament for use in the production of an

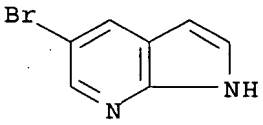
antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no biol. data).

IT 115170-40-6P 183208-35-7P, 5-Bromo-7-azaindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)

RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:314695 CAPLUS
DN 132:334473
TI Preparation of (carbamoylmethyl)pyrazinones as thrombin inhibitors
IN Sanderson, Philip E.; Lyle, Terry A.; Dorsey, Bruce D.; Stanton, Matthew G.; Staas, Donnette; Coburn, Craig; Naylor-Olsen, Adel M.; Morrissette, Matthew M.; Selnick, Harold G.; Nanterment, Philippe G.; Williams, Peter D.; Stauffer, Kenneth J.; Burgey, Christopher; Isaacs, Richard
PA Merck & Co., Inc., USA; Barrow, James, C.
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 2000026211	A1	20000511	WO 1999-US25203	19991028
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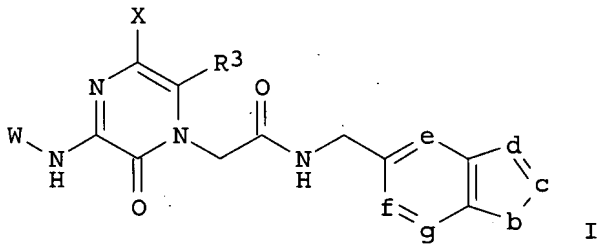
	CA 2348734	A1	20000511	CA 1999-2348734	19991028

	EP 1124822	A1	20010822	EP 1999-958684	19991028
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AU 747776	B2	20020523	AU 2000-15997	19991028
	JP 2002528543	T	20020903	JP 2000-579599	19991028
	US 6610692	B1	20030826	US 1999-429741	19991028
PRAI	US 1998-106417P	P	19981030		
	WO 1999-US25203	W	19991028		

OS MARPAT 132:334473

GI



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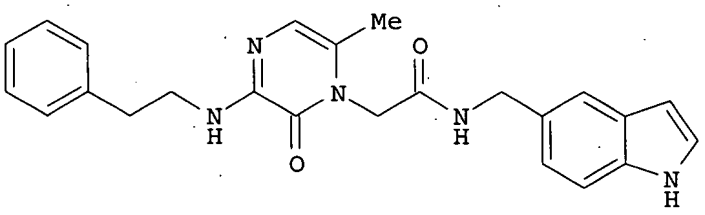


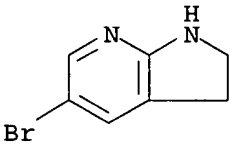
II

AB The (carbamoylmethyl)pyrazinones I [wherein b = NY1 or O; c = CY2 or N; d = CY3 or N; e-g = CY4 or N; Y1 and Y2 = independently H, (cyclo)alkyl, halogen, NH2, OH, or alkoxy; Y3 = H, (cyclo)alkyl, halogen, CN, NH2, or alkoxy; Y4 = independently H, alkyl, or halogen; W = H, R1, R1O2C, R1CO, R1SO2, R1(CH2)nNHCO, or (R1)2CH(CH2)nNHCO; n = 0-4; R1 = H, (un)substituted (cycloalkyl)alkyl, alkoxyalkyl, difluoroalkyl, carboxyalkyl, Ph, naphthyl, heterocyclyl, etc.; X = H or halogen; R3 = H, (cyclo)alkyl, halogen, (un)substituted Ph, acyl, heterocyclyl, CN, SMe, SOMe, or alkylsulfonyl] and its analogs were prepared I inhibited human α-thrombin and are expected to be useful as blood platelet aggregation inhibitors, thrombus formation inhibitors, anticoagulants, and thrombolytics. Thus, 3-(2-phenylethylamino)-6-methyl-1-carboxymethylpyrazinone was amidated by 5-aminomethylindole in DMF in the presence of HOBT, EDC, and TEA to yield the (indolylmethylcarbamoylmethyl) pyrazinone II, which showed thrombin inhibitory activity with a Ki of ≤ 20 nM.

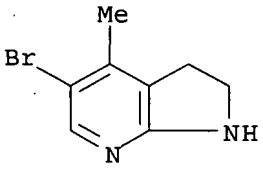
IT 115170-40-6P 267875-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (heterocyclylmethylcarbamoylmethyl)pyrazinones as thrombin inhibitors)

RN 115170-40-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 267875-37-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:314694 CAPLUS
DN 132:334472
TI Preparation of pyrazinones as thrombin inhibitors
IN Sanderson, Philip E.; Lyle, Terry; Dorsey, Bruce; Stanton, Matthew G.; Naylor-Olsen, Adel M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000026210	A1	20000511	WO 1999-US25041	19991026
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2348530	A1	20000511	CA 1999-2348530	19991026
	EP 1124823	A1	20010822	EP 1999-971419	19991026
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002528542	T	20020903	JP 2000-579598	19991026
	AU 752186	B2	20020912	AU 2000-12319	19991026
	US 6376499	B1	20020423	US 1999-428314	19991028
PRAI	US 1998-106294P	P	19981030		
	WO 1999-US25041	W	19991026		

OS MARPAT 132:334472
GI

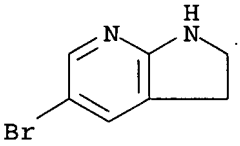
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; b = NY1, O; c = CY2, N; d = CY2; e = CY1, N; f = CY1, N; g = CY1, N; Y1 = H, alkyl, halo; Y2 = H, alkyl, cycloalkyl, etc.; A = II-V (wherein W = H, R1, R1OCO, etc.; R1 = R2, R2SO2, R2CH2SO2, etc.; R2, R5 = H, (un)substituted Ph, naphthyl, etc.; R3, R4 = H, halo, alkyl, etc.)] and their pharmaceutically acceptable salts, useful in inhibiting thrombin and associated thrombotic occlusions (no data), were prepared and formulated. E.g., a multi-step synthesis of pyrazinone VI.TFA salt was given.

IT 115170-40-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazinones as thrombin inhibitors)

RN 115170-40-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1977:453116 CAPLUS

DN 87:53116

TI Azaindole derivatives. L. Introduction of substituents into the pyridine part of 7-azaindoline

AU Krasnokutskaya, D. M.; Yakhontov, L. N.

CS Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR

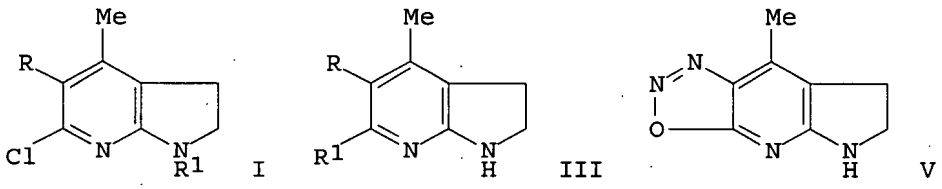
SO Khimiya Geterotsiklicheskikh Soedinenii (1977), (3), 380-4
CODEN: KGSSAQ; ISSN: 0132-6244

DT Journal

LA Russian

OS CASREACT 87:53116

GI



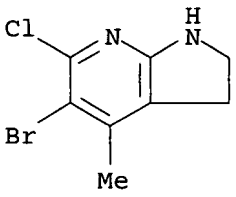
I III V

AB Nitration of azaindoline I (R = R1 = H) gave 24% I (R = H, R1 = NO2) and 35% I (R = NO2, R1 = H) which was acetylated to give 95% I (R =

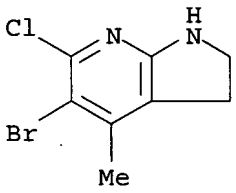
NO2, R1 = Ac) (II). Reduction of the latter yielded 47% III (R = NH2, R1 = H) and 52% I (R = NH2, R1 = Ac) (IV). Deacetylation of II gave 35% III (R = NO2, R1 = H). Addnl. obtained were 29% I (R = Cl, R1 = H) and 96% I (R = H, R1 = Ac). Cyclization of IV in the presence of NaNO2 gave 55% V.

IT 59558-38-2P 63291-64-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 59558-38-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-6-chloro-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

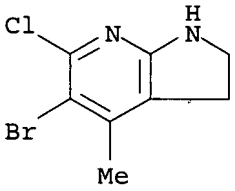


RN 63291-64-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-6-chloro-2,3-dihydro-4-methyl-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1976:135519 CAPLUS
DN 84:135519
TI Electrophilic substitution reactions in a series of 7-azaindolines
AU Krasnokutskaya, D. M.; Yakhontov, L. N.
CS USSR
SO v sb., Khimiya i Farmakol. Indol'n. Soedinenii (1975) 64-5
From: Ref. Zh., Khim. 1976, Abstr. No. 1Zh338
DT Journal
LA Russian
OS CASREACT 84:135519
AB Title only translated.
IT 59558-38-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59558-38-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-6-chloro-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)



=> FIL CASREACT

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	36.58	210.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.68	-4.68

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FILE CONTENT:1840 - 21 Apr 2007 VOL 146 ISS 18

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****
*
* CASREACT now has more than 12 million reactions *
*
****

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> SET NOTICE DISPLAY 1

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
SET COMMAND COMPLETED

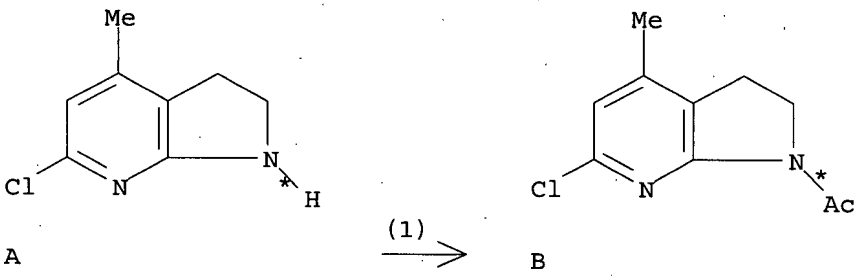
=> D ACC 84:135519 ALL

THE ESTIMATED COST FOR THIS REQUEST IS 7.06 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

ANSWER 1 CASREACT COPYRIGHT 2007 ACS on STN
AN 84:135519 CASREACT

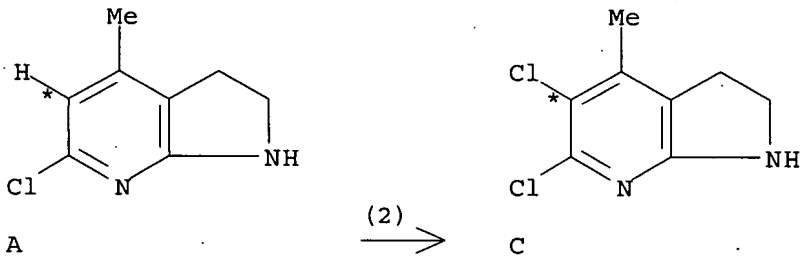
TI Electrophilic substitution reactions in a series of 7-azaindolines
AU Krasnokutskaya, D. M.; Yakhontov, L. N.
CS USSR
SO v sb., Khimiya i Farmakol. Indol'n. Soedinenii (1975) 64-5
From: Ref. Zh., Khim. 1976, Abstr. No. 1Zh338
DT Journal
LA Russian
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
AB Title only translated.
ST substitution azaindoline; pyrrolopyridine substitution; nitration azaindoline; chlorination azaindoline; bromination azaindoline
IT Substitution reaction
(electrophilic, of 7-azaindolines)
IT 14069-74-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination, chlorination, and nitration of)
IT 59558-37-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(diazotization of)
IT 10592-27-5D, 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrophilic substitution reactions of)
IT 59558-42-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(nitration of)
IT 59558-38-2P 59558-39-3P 59558-40-6P 59558-41-7P 59558-43-9P 59558-44-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RX(1) OF 17 A ===> B...



RX(1) RCT A 14069-74-0
PRO B 59558-42-8

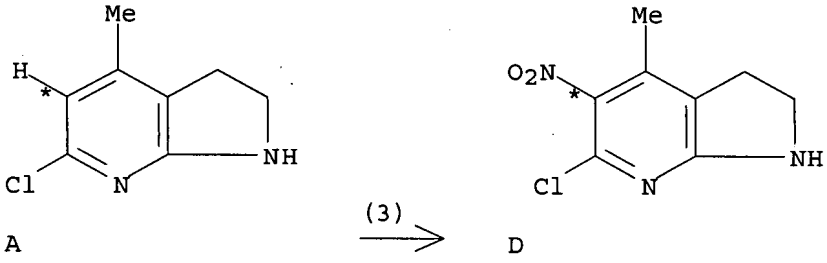
RX(2) OF 17 A ===> C



A C

RX(2) RCT A 14069-74-0
PRO C 59558-39-3

RX(3) OF 17 A ===> D



A D

RX(3) RCT A 14069-74-0
PRO D 59558-40-6

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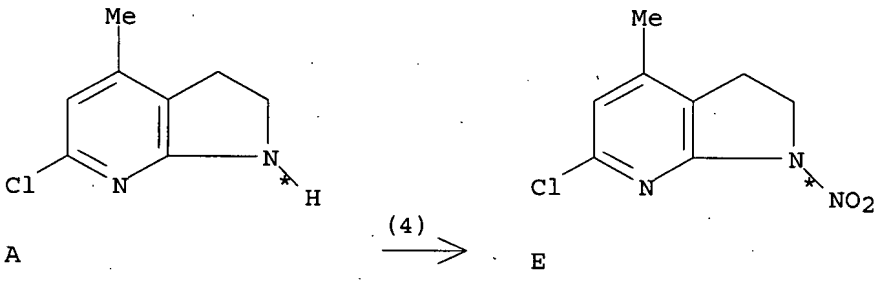
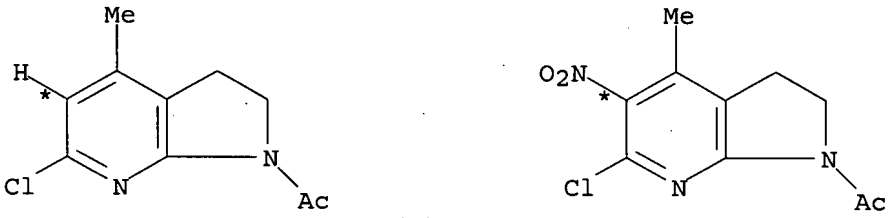


A E

RX(4) RCT A 14069-74-0
PRO E 59558-41-7

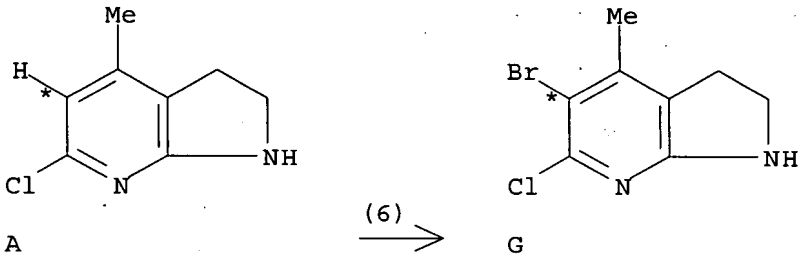
RX(5) OF 17 ...B ===> F...



B F

RX(5) RCT B 59558-42-8
PRO F 59558-43-9

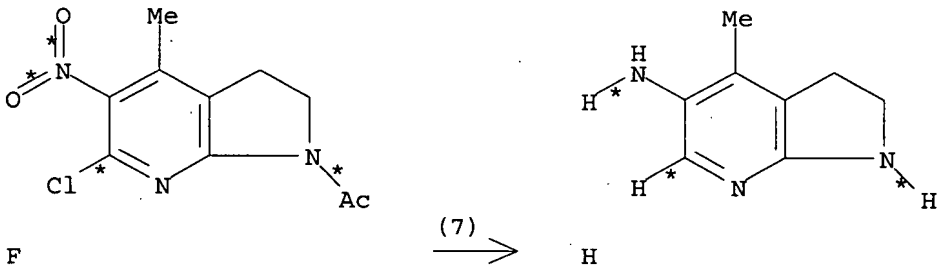
RX(6) OF 17 A ===> G



A G

RX(6) RCT A 14069-74-0
PRO G 59558-38-2

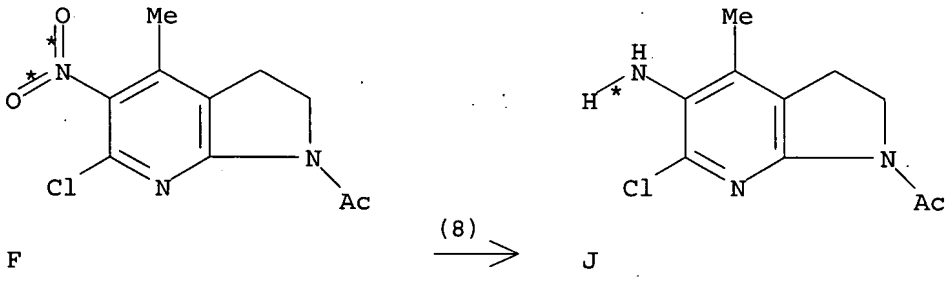
RX(7) OF 17 ...F ===> H



F H

RX(7) RCT F 59558-43-9
RGT I 7772-99-8 SnCl2
PRO H 59558-44-0

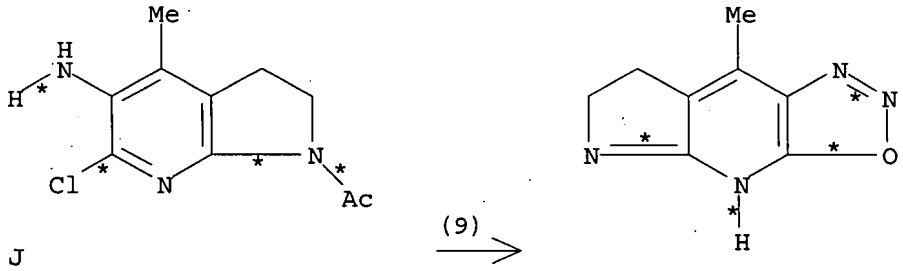
RX(8) OF 17 ...F ===> J...



F (8) J

RX(8) RCT F 59558-43-9
PRO J 59558-37-1

RX(9) OF 17 ...J ===> K



J (9) K

RX(9) RCT J 59558-37-1
RGT L 7632-00-0 NaNO2
PRO K 63291-63-4

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.51	218.20
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.73	-5.41

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(FILE 'HOME' ENTERED AT 12:54:54 ON 27 APR 2007)

FILE 'REGISTRY' ENTERED AT 12:55:44 ON 27 APR 2007
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 17 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
L4 66 S L3
L5 35 S L3/P
L6 6 S L5 AND ?INDOLINE/AB,BI

FILE 'CASREACT' ENTERED AT 12:59:49 ON 27 APR 2007
SET NOTICE DISPLAY 1
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 13:00:37 ON 27 APR 2007

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.29	221.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.41

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

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     (FILE 'HOME' ENTERED AT 12:54:54 ON 27 APR 2007)

     FILE 'REGISTRY' ENTERED AT 12:55:44 ON 27 APR 2007
L1             STRUCTURE UPLOADED
L2           2 S L1
L3          17 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
L4          66 S L3
L5          35 S L3/P
L6           6 S L5 AND ?INDOLINE/AB,BI

     FILE 'CASREACT' ENTERED AT 12:59:49 ON 27 APR 2007
               SET NOTICE DISPLAY 1
               SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 13:00:37 ON 27 APR 2007

     FILE 'CAOLD' ENTERED AT 13:04:36 ON 27 APR 2007

=> s l3
L7          0 L3

=> log h
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.45     221.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -5.41

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:04:55 ON 27 APR 2007
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FILE LAST UPDATED: 26 Apr 2007 (20070426/ED)

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(FILE 'HOME' ENTERED AT 12:54:54 ON 27 APR 2007)

FILE 'REGISTRY' ENTERED AT 12:55:44 ON 27 APR 2007
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 17 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
L4 66 S L3
L5 35 S L3/P
L6 6 S L5 AND ?INDOLINE/AB,BI

FILE 'CASREACT' ENTERED AT 12:59:49 ON 27 APR 2007
SET NOTICE DISPLAY 1
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 13:00:37 ON 27 APR 2007

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.29	221.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.41

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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     FILE 'REGISTRY' ENTERED AT 12:55:44 ON 27 APR 2007
L1             STRUCTURE UPLOADED
L2              2 S L1
L3             17 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
L4             66 S L3
L5             35 S L3/P
L6              6 S L5 AND ?INDOLINE/AB,BI

     FILE 'CASREACT' ENTERED AT 12:59:49 ON 27 APR 2007
               SET NOTICE DISPLAY 1
               SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 13:00:37 ON 27 APR 2007

     FILE 'CAOLD' ENTERED AT 13:04:36 ON 27 APR 2007

=> s l3
L7              0 L3

=> log h
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.45     221.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -5.41

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:04:55 ON 27 APR 2007

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:sssptau122ebb

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
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SESSION RESUMED IN FILE 'CAOLD' AT 13:09:01 ON 27 APR 2007
FILE 'CAOLD' ENTERED AT 13:09:01 ON 27 APR 2007
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.45     221.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -5.41

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.45     221.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -5.41

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FILE LAST UPDATED: 26 Apr 2007  (20070426/ED)

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=> d his

     (FILE 'HOME' ENTERED AT 12:54:54 ON 27 APR 2007)

     FILE 'REGISTRY' ENTERED AT 12:55:44 ON 27 APR 2007
L1             STRUCTURE UPLOADED
L2               2 S L1
L3              17 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:58:26 ON 27 APR 2007
L4              66 S L3
L5              35 S L3/P
L6               6 S L5 AND ?INDOLINE/AB,BI
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     FILE 'CASREACT' ENTERED AT 12:59:49 ON 27 APR 2007
               SET NOTICE DISPLAY 1
               SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 13:00:37 ON 27 APR 2007

     FILE 'CAOLD' ENTERED AT 13:04:36 ON 27 APR 2007
L7             0 S L3

     FILE 'CAPLUS' ENTERED AT 13:09:17 ON 27 APR 2007

=> s l5 and reduc?/ab,bi
       1921202 REDUC?/AB
       2202099 REDUC?/BI
L8             4 L5 AND REDUC?/AB,BI

=> d l8 1-4 bib abs hitstr

L8   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:756718  CAPLUS
DN   141:260554
TI   Preparation of azaindoline intermediates with novel amino-protecting
     groups such as TBS-protected 5-bromo-7-azaindoline, and their applications
     to the synthesis of 5-substituted 7-azaindolines and 7-azaindoles
IN   Graczyk, Piotr; Khan, Afzal; Bhatia, Gurpreet
PA   Eisai London Research Laboratories Limited, UK; Eisai Co., Ltd.
SO   PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
PI   WO 2004078757         A2    20040916    WO 2004-GB946            20040305
     WO 2004078757         A3    20050901
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1633750            A2    20060315    EP 2004-717703           20040305
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
     JP 2006520771         T     20060914    JP 2006-505923           20040305
     US 2006235042         A1    20061019    US 2006-548162           20060313
PRAI GB 2003-5142          A     20030306
     WO 2004-GB946         W     20040305
OS   CASREACT 141:260554; MARPAT 141:260554
GI
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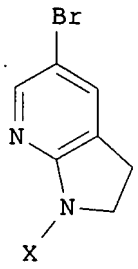

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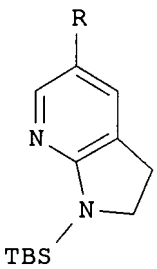

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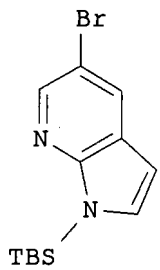


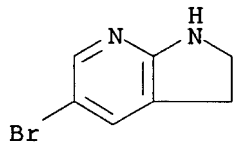
III

AB The invention provides a novel substituted azaindoline intermediate of formula I, wherein X is an amino-protecting group except Cbz, such as PhC(O)CH2-, CH2=CH-, ClCH2CH2-, Ph3C-, Ph2(4-pyridyl)C-, Me2N-, HOCH2-, t-BuOC(O)CH2-, Me2NCH2-, PhSO2-, and TBS, and a method for its synthesis. The intermediate I is provided for use in the manufacture of 5-substituted 7-azaindolines and 5-substituted 7-azaindoles. The key finding of this invention is that amino-protecting groups play a crucial role in the preparation of the intermediates and subsequent chemical transformations into various azaindol(in)es in an efficient and cost-effective way. The usefulness of TBS as protecting group has been fully demonstrated. For example, 7-azaindole was reduced to 7-azaindoline with HCOOH and Et3N in the presence of Pd/C, and the product was silylated with TBSCl followed by bromination with bromine in pyridine to give intermediate II (R = Br). Lithiation of II (R = Br) with t-BuLi followed by the addition of electrophiles such as DMF afforded various derivs. such as II (R = CHO), which were further oxidized to their azaindole counterparts. Conversions of the bromine atom of II (R = Br) to stannyl or silyl groups via metal-exchange, and further applications were given. Oxidation of II (R = Br) with DDQ led to azaindole intermediate III, whose chemical in reactions such as the Suzuki and Stille reactions was shown.

IT 115170-40-6P 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of silyl azaindolines and their applications to the synthesis of 5-substituted 7-azaindolines and 7-azaindoles)

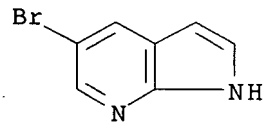
RN 115170-40-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS

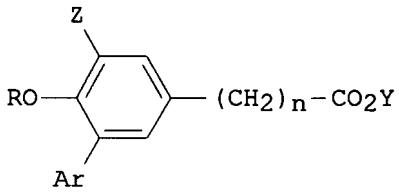
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:678772 CAPLUS
DN 139:214465
TI Preparation of substituted phenylalkanoic acid derivatives as inhibitors of prostaglandin and leukotriene production
IN Shoda, Motoshi; Kuriyama, Hiroshi
PA Asahi Kasei Kabushiki Kaisha, Japan
SO PCT Int. Appl., 607 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070686	A1	20030828	WO 2003-JP1849	20030220
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2477208	A1	20030828	CA 2003-2477208	20030220
	AU 2003211384	A1	20030909	AU 2003-211384	20030220
	US 2004044258	A1	20040304	US 2003-368435	20030220
	US 6867320	B2	20050315		
	EP 1477472	A1	20041117	EP 2003-706983	20030220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1653032	A	20050810	CN 2003-808999	20030220
PRAI	JP 2002-45293	A	20020221		
	JP 2002-301543	A	20021016		
	US 2002-358337P	P	20020222		
	US 2002-419098P	P	20021018		
	WO 2003-JP1849	W	20030220		

OS MARPAT 139:214465
GI



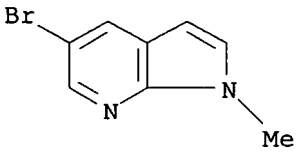
I

AB Compds. represented by the general formula (I) [wherein n is an integer of 1 to 3; R represents C3-8 alkyl, a group represented by R1(CH2)k- (k is an

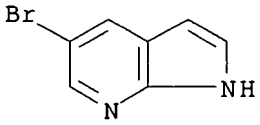
integer of 0 to 3; and R1 represents C3-7 saturated cycloalkyl or C6-8 fused-ring saturated alkyl, provided that R1 may be substituted by C1-4 alkyl), etc.; and Ar represents a bicyclic fused-ring group, e.g., naphthalen-1-yl, indolyl, benzothiazolyl, quinolyl, isoquinolyl, indazolyl] or salts thereof are prepared The compds. I or salt thereof have prostaglandin and leukotriene production inhibitory activity and are useful for the prevention of and treatments for various acute or chronic inflammatory diseases attributable to the lipid mediator, allergic diseases, and autoimmune diseases, and for antipyresis and/or analgesia. Thus, 3-(3-bromo-5-fluoro-4-cyclopentyloxyphenyl)propionic acid Me ester (preparation given) was coupled with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-methylaniline in the presence of (Ph3P)4Pd in 2 M aqueous Na2CO3 solution and toluene at 100° for 15 h to give 3-(4'-amino-6-cyclopentyloxy-5-fluoro-3'-methyl-1,1'-biphenyl-3-yl)propionic acid Me ester which was dissolved in AcOH under ice cooling, treated with aqueous NaNO2 solution, stirred for 30 min, treated with urea, warmed to room temperature, and stirred for 30 min to give 3-[4-cyclopentyloxy-3-fluoro-5-(1H-indazol-5-yl)phenyl]propionic acid Me ester (II). Saponification of II by 2 N aqueous NaOH in MeOH at 60° for 16 h followed by concentration under reduced pressure and acidification with 5% aqueous HCl under ice-cooling gave 3-[4-cyclopentyloxy-3-fluoro-5-(1H-indazol-5-yl)phenyl]propionic acid (III). III, 3-[4-(cyclohexylmethoxy)-3-(6-hydroxynaphthalen-2-yl)phenyl]propionic acid, and 3-[4-(cyclopentylmethoxy)-3-(1H-indol-5-yl)phenyl]propionic acid inhibited the interleukin-1β-stimulated prostaglandin E2 in human osteosarcoma cell (MG-63) by ≥50% at 0.4 μM.

IT 183208-22-2P 183208-35-7P, 5-Bromo-1H-pyrrolo[2,3-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted phenylalkanoic acid derivs. as inhibitors of prostaglandin and leukotriene production for prevention or treatment of inflammations, allergies, and autoimmune diseases, and for antipyresis and/or analgesia)

RN 183208-22-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:610442 CAPLUS
DN 139:164806
TI Preparation of quinazolines as VEGF receptor inhibitors
IN Hennequin, Laurent Francois Andre
PA AstraZeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003064413	A1	20030807	WO 2003-GB343	20030128
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	CA 2473572	A1	20030807	CA 2003-2473572	20030128
	EP 1474420	A1	20041110	EP 2003-700951	20030128
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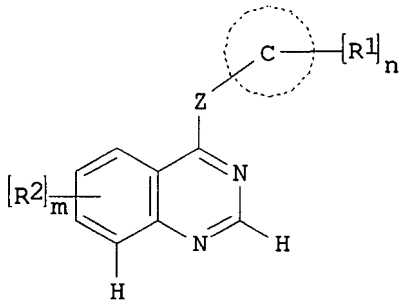
	BR 2003007151	A	20041207	BR 2003-7151	20030128
	US 2005085465	A1	20050421	US 2003-502538	20030128
	HU 200402588	A2	20050530	HU 2004-2588	20030128
	CN 1625555	A	20050608	CN 2003-803124	20030128
	JP 2005522428	T	20050728	JP 2003-564036	20030128
	IN 2004DN02016	A	20050401	IN 2004-DN2016	20040714
	NO 2004003162	A	20040722	NO 2004-3162	20040722
	ZA 2004005908	A	20050926	ZA 2004-5908	20040723
PRAI	EP 2002-290242	A	20020201		
	WO 2003-GB343	W	20030128		

OS CASREACT 139:164806; MARPAT 139:164806
GI



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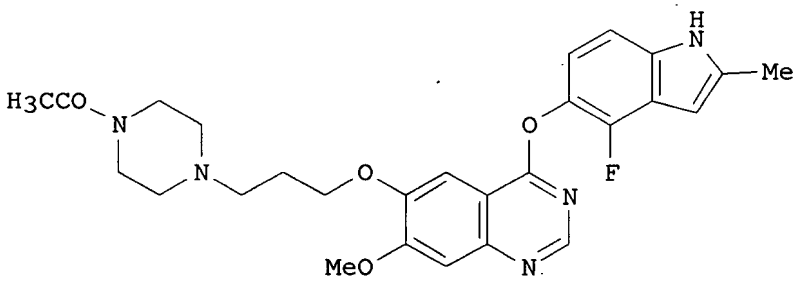


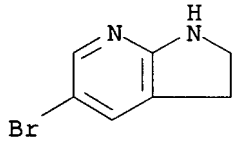
II

AB The title compds. [I; ring C = indolyl, indazolyl or azaindolyl; Z = O, NH, S; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.; R1 = H, halo, oxo, OH, etc.], useful in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no biol. data).

IT 115170-40-6P 183208-35-7P, 5-Bromo-7-azaindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)

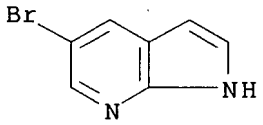
RN 115170-40-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



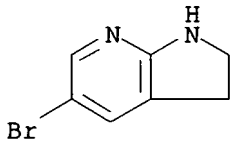
RN 183208-35-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:162666 CAPLUS
DN 139:78415
TI Azaindoles: moderately basic P1 groups for enhancing the selectivity of thrombin inhibitors
AU Sanderson, Philip E. J.; Stanton, Matthew G.; Dorsey, Bruce D.; Lyle, Terry A.; McDonough, Colleen; Sanders, William M.; Savage, Kelly L.; Naylor-Olsen, Adel M.; Krueger, Julie A.; Lewis, S. Dale; Lucas, Bobby J.; Lynch, Joseph J.; Yan, Youwei
CS Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(5), 795-798
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 139:78415
AB Starting from a 2-amino-6-methylpyridine P1 group and following a strategy of enlarging it while reducing its polarity, we have developed a series of potent, moderately basic azaindoles which are intrinsically much more selective for thrombin vs. trypsin. Certain pyrazinone acetamide azaindole derivs. have pharmacokinetic parameters after oral administration to dogs, or efficacy in vitro, comparable to an optimized pyrazinone acetamide 2-amino-6-methylpyridine derivative
IT 115170-40-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship of azaindoles as selective thrombin inhibitors)
RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5           35 S L3/P
L6            6 S L5 AND ?INDOLINE/AB,BI

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L8            4 S L5 AND REDUC?/AB,BI

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L9           27 L5 NOT (L6 OR L8)

=> d l9 1-27 bib abs hitstr

L9   ANSWER 1 OF 27  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:203207  CAPLUS
DN   146:295894
TI   Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators
IN   Arnold, William D.; Bounaud, Pierre; Gosberg, Andreas; Li, Zhe; McDonald,
     Ian; Steensma, Ruo W.; Wilson, Mark E.
PA   SGX Pharmaceuticals, Inc., USA
SO   U.S. Pat. Appl. Publ., 183pp., Cont.-in-part of U.S. Ser. No. 192,341.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   US 2007043068        A1    20070222    US 2006-364872          20060227
     US 2006030583        A1    20060209    US 2005-192341          20050727
PRAI US 2004-591887P      P     20040727
     US 2004-591888P      P     20040727
     US 2005-683510P      P     20050519
     US 2005-192341       A2    20050727
OS   MARPAT 146:295894
GI
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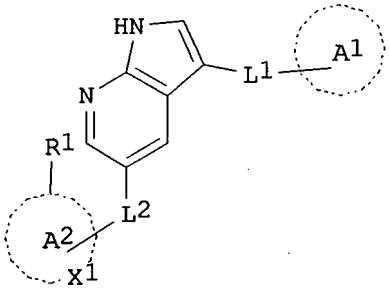

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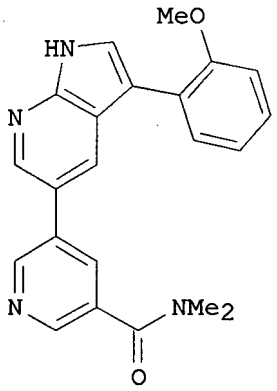


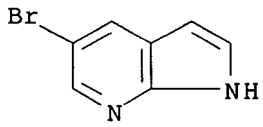
II

AB The title pyrrolo[2,3-b]pyridine derivs. I [wherein L1 and L2 = independently a bond, S, SO, SO2, O, NH, etc.; A1 = (un)substituted 6-membered (hetero)aryl; A2 = (un)substituted (hetero)cycloalkyl or (hetero)aryl; R1 = halo, CN, NO2, CF3, (un)substituted OH, NH2, etc.; X1 = S, O, (un)substituted -CH=, CH2, -N=, or NH] or pharmaceutically acceptable salts thereof were prepared as kinase modulators to treat diseases mediated by kinase activity. E.g., a multi-step synthesis of II, starting from 5-bromo-1H-pyrrolo[2,3-b]pyridine, was given. Some of compds. I showed inhibitory activity against Abl_T315 with IC50 values of <0.05 μM.

IT 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolo[2,3-b]pyridine derivs. as kinase modulators)

RN 183208-35-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



L9 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:11341 CAPLUS

DN 146:121941

TI Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Ibrahim, Prabha N.; Artis, Dean R.; Bremer, Ryan; Habets, Gaston; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Zuckerman, Rebecca; West, Brian; Suzuki, Yoshihisa; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxian; Zhu, Hongyao; Shi, Shenghua

PA Plexxikon, Inc., USA

SO PCT Int. Appl., 631 pp.
CODEN: PIXXD2

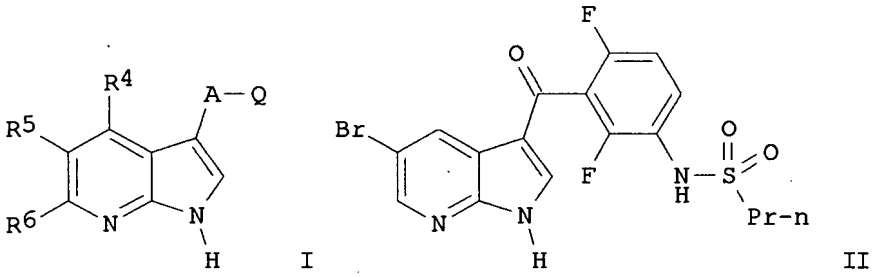
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007002433	A1	20070104	WO 2006-US24524	20060621

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-692960P P 20050622
US 2005-731528P P 20051028
OS MARPAT 146:121941
GI

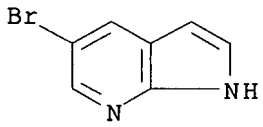


AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted aryl, (un)substituted indole, (un)substituted heteroaryl, etc.; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO2; R4 - R6 is H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cycloalkyl, and (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrogenation to give the corresponding benzoic acid, which underwent chlorination, to give the corresponding acid chloride, which underwent reaction with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the tested compds. exhibited good protein kinase inhibitory activity against several kinases.

IT 183208-35-7P

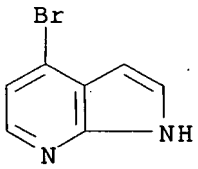
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



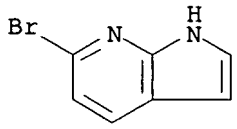
IT 348640-06-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 348640-06-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



IT 143468-13-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 143468-13-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-bromo- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1280998 CAPLUS
DN 146:45393
TI Preparation of 2-pyrrolidinone derivatives and their use as anticonvulsants
IN Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel, Philippe; Turet,

Laurent; Mercier, Joeel
PA Ucb S.A., Belg.
SO PCT Int. Appl., 270pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006128692	A2	20061207	WO 2006-EP5199	20060531
WO 2006128692	A3	20070315		

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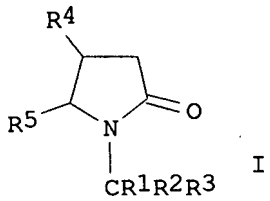
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI	EP 2005-11779	A	20050601
	EP 2005-11780	A	20050601

OS MARPAT 146:45393
GI

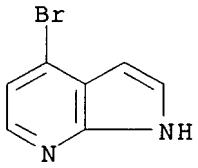


I

AB The present invention concerns 2-pyrrolidinone derivs. (shown as I; variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H, C1-12 alkyl, aryl or heterocyclyl; R2 is H; or R1 and R2 are linked together to form a C3-6 cycloalkyl; R3 is a (un)substituted heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is H, C1-12 alkyl ((un)substituted by halogen, C1-4 alkoxy, C1-4 alkylthio, azido, nitrooxy or aryl), C2-12 alkenyl, C2-12 alkynyl, aryl (non-substituted by a cycloalkoxy), azido, alkoxycarbonylamino, arylsulfonyloxy or heterocyclyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

IT 348640-06-2P, 4-Bromo-1H-pyrrolo[2,3-b]pyridine

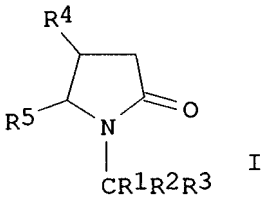
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)
RN 348640-06-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



L9 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1279332 CAPLUS
DN 146:27722
TI Preparation of 2-pyrrolidinone derivatives and their use as anticonvulsants
IN Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel, Philippe; Turet, Laurent; Mercier, Joeel
PA Ucb S.A., Belg.
SO PCT Int. Appl., 258pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006128693	A2	20061207	WO 2006-EP5200	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI EP 2005-11779	A	20050601		
EP 2005-11780	A	20050601		

OS MARPAT 146:27722
GI



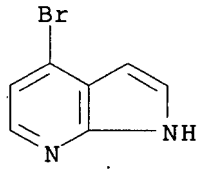
I

AB The present invention concerns 2-pyrrolidinone derivs. (shown as I; variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H; R2 is H; R3 is a heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is C1-12 alkyl ((un)substituted by halogen or C1-4 alkoxy), C2-12 alkenyl, C2-12 alkynyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

IT 348640-06-2P, 4-Bromo-1H-pyrrolo[2,3-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)

RN 348640-06-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



L9 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1252802 CAPLUS

DN 146:27814

TI Pyrrolopyridines useful as inhibitors of protein kinase and their preparation, pharmaceutical compositions, and use in the treatment of various diseases

IN Ledeboer, Mark W.; Wannamaker, Marion W.; Farmer, Luc J.; Wang, Tiansheng; Pierce, Albert C.; Martinez-Botella, Gabriel; Bethiel, Randy S.; Bemis, Guy W.; Wang, Jian; Salituro, Francesco G.; Arnost, Michael J.; Come, Jon H.; Green, Jeremy; Stewart, Michelle; Marhefka, Craig

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 201pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006127587	A1	20061130	WO 2006-US19711	20060522

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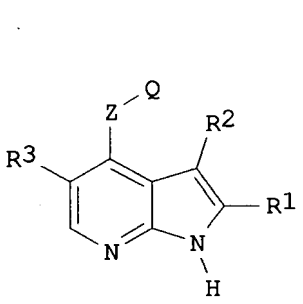
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2005-683554P P 20050520
OS MARPAT 146:27814
GI



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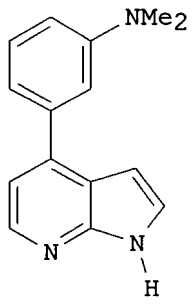
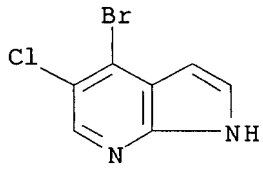


II

AB The invention relates to compds. of formula I, which are useful as inhibitors of protein kinases, particularly of JAK family and ROCK family kinases. The invention also provides pharmaceutically acceptable compns. comprising said compds. and methods of using the compns. in the treatment of various disease, conditions, or disorders. Compds. of formula I wherein Q is a (un)substituted (un)saturated 3- to 8-membered (hetero)monocyclic ring and (un)saturated 8- to 12-membered (hetero)bicyclic ring; Z is a bond, NH, C1-3 alkylamine, and C=CH2; R1 and R2 are independently (un)substituted C1-2 alkyl; R3 is H, Cn, NO2, (un)substituted C1-6 aliphatic; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by cross-coupling of 4-bromo-1-tosyl-1H-[2,3-b]pyridine with 3-dimethylaminophenylboronic acid derivative All the invention compds. were evaluated for their JAK and ROCK kinase inhibitory activity. From the kinase inhibition assay, it was determined that compound II exhibited Ki values of less than 0.5 μM against JAK2, JAK3 and ROCK-I.

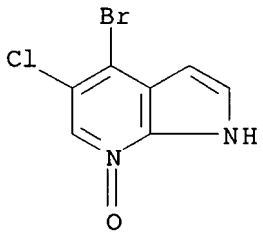
IT 916176-52-8P 916176-86-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolopyridines as inhibitors of protein kinase useful in the treatment of various diseases)

RN 916176-52-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-5-chloro- (CA INDEX NAME)



RN 916176-86-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-5-chloro-, 7-oxide (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1226019 CAPLUS
DN 146:7975
TI Preparation of pyrrolopyridines as protein kinase inhibitors
IN Okram, Barun; Ren, Pingda; Gray, Nathanael S.
PA IRM LLC, Bermuda; The Scripps Research Institute
SO PCT Int. Appl., 51pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006124863	A2	20061123	WO 2006-US18868	20060515
	WO 2006124863	A3	20070125		

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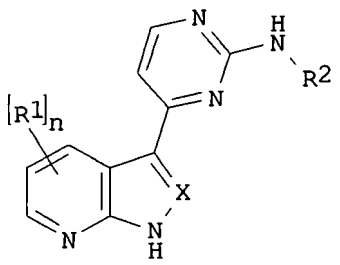
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-681853P P 20050516
OS MARPAT 146:7975
GI



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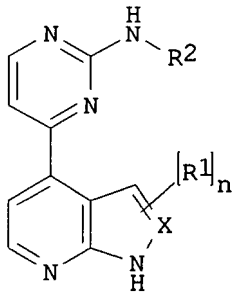

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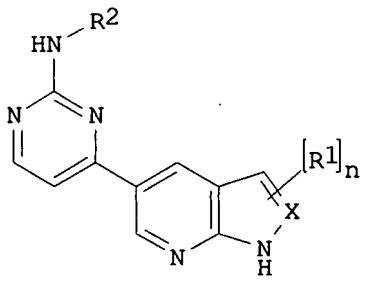
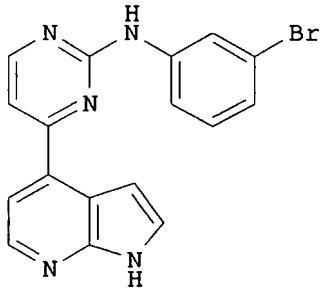


III



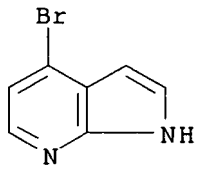
IV

AB The title compds. I-III [n = 0-2; R1 = halo, (halo)alkyl, (halo)alkoxy; R2 = (un)substituted arylalkyl or heteroaryl; X = CR7 or N (wherein R7 = H, alkyl)], useful in treating or preventing diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of the CDKs, Aurora, Jak2, Rock, CAMKII, FLT3, Tie2, TrkB, FGFR3 and KDR kinases, were prepared E.g., a multi-step synthesis of IV, starting from 7-azaindole, was given. Compds. I-III showed IC50's in the range of 10 nM to 2 μM when tested in FGFR3 enzymic assay. Pharmaceutical compns. comprising compds. I-III are disclosed.

IT 348640-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyridines as novel protein kinase inhibitors useful in treatment and prevention of diseases associated with abnormal or deregulated protein kinase activity)

RN 348640-06-2 CAPLUS

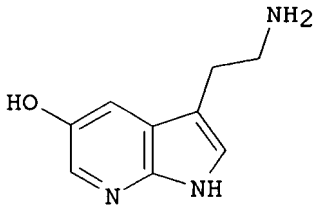
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



L9 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

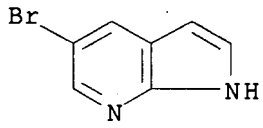
AN 2006:1106239 CAPLUS

DN 146:62508
TI Synthesis of 7-Azaserotonin: Its Photophysical Properties Associated with Excited State Proton Transfer Reaction
AU Wu, Pei-Wen; Hsieh, Wan-Ting; Cheng, Yi-Ming; Wei, Ching-Yen; Chou, Pi-Tai
CS Department of Chemistry, National Taiwan University, Taipei, 106, Taiwan
SO Journal of the American Chemical Society (2006), 128(45), 14426-14427
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 146:62508
GI



I

AB The synthesis of 3-(2-aminoethyl)-5-ol-1H-pyrrolo[2,3-b]pyridine I (7-azaserotonin), which may potentially serve as an agonist or antagonist of serotonin receptors (no data), is reported. In alcs., the solvent (e.g., ethanol) catalyzed proton-transfer reaction takes place for I in the excited state, resulting in dual emission. Conversely, excited-state deprotonation takes place in neutral aqueous solution The unique excitation behavior makes 7-azaserotonin versatile as a potential bioprobe.
IT 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7-azaserotonin and its photophys. properties associated with excited state proton transfer reaction)
RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



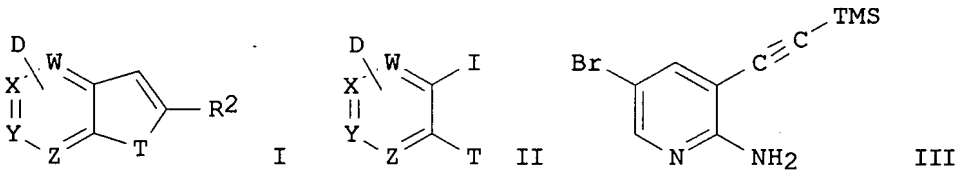
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:823405 CAPLUS
DN 145:249189
TI Process for the preparation of aza-annelated pyrroles, thiophenes and furans as potential bioisosteres of indole, benzofuran and benzothiophene scaffolds
IN Beard, Charles D.; Lee, Ving J.; Whittle, C. Ed

PA Cb Research and Development, Inc., USA
SO U.S. Pat. Appl. Publ., 17pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006183758	A1	20060817	US 2005-59744	20050217
PRAI US 2005-59744		20050217		

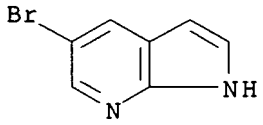
OS CASREACT 145:249189; MARPAT 145:249189
GI



AB A process for the preparation of aza-annelated pyrroles, thiophenes and furans I [wherein T = (un)substituted NH, O or S; R2 = H, (halo)alkyl, (un)substituted aryl, etc.; W, X, Y, Z = (un)substituted CH or N with limitations; D = H or Br], which are potentially useful as bioisosteres of indole, benzofuran and benzothiophene scaffolds, is disclosed. The process comprises coupling iodides II with acetylene compds. CH.tplbond.CCH2R2 or silyl group-protected acetylene such as CH.tplbond.C-TMS, and cyclizing the resultant alkynes in protic solvents. Key features of the method include regioselective substitution in the iodine sites and tolerance of a wide range of sensitive functional groups. For instance, regioselective Sonogashira reaction of 5-bromo-3-iodo-2-pyridinamine (preparation given) with CH.tplbond.C-TMS in toluene in the presence of PdCl2(PPh3)2, CuI and Et3N gave ethynylpyridinamine III in 80% yield. This compound underwent t-BuOK-mediated intramol. cyclization in refluxing t-butanol to afford 5-bromo-1H-pyrrolo[2,3-b]pyridine in 60% yield.

IT 183208-35-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrrolopyridines via Pd/Cu-catalyzed Sonogashira coupling of iodopyridinamines with acetylenes to ethynylpyridinamines followed by intramol. cyclization)

RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)

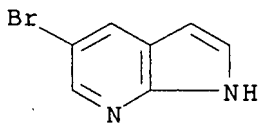


L9 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:333331 CAPLUS

DN 144:345885
TI Crystal structure of human phosphodiesterase 4B and molecular modeling and activity of inhibitors
IN Ibrahim, Prabham L.; Bremer, Ryan E.; Gillette, Samuel J.; Cho, Hanna; Nespi, Marika; Mamo, Shumeye; Zhang, Chao; Artis, Dean R.; Lee, Byunghun; Zuckerman, Rebecca L.
PA Plexxikon, Inc., USA
SO PCT Int. Appl., 429 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006026754	A2	20060309	WO 2005-US31322	20050902
	WO 2006026754	A9	20060420		
	WO 2006026754	A3	20070111		
	WO 2006026754	B1	20070222		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005279795	A1	20060309	AU 2005-279795	20050902
	US 2006100218	A1	20060511	US 2005-219635	20050902
PRAI	US 2004-607407P	P	20040903		
	WO 2005-US31322	W	20050902		

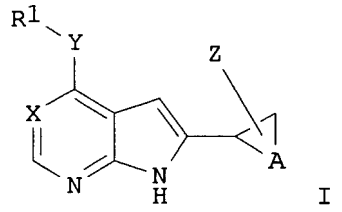
OS MARPAT 144:345885
AB Chemical synthesis and bioactivities of compds. are described that are active on phosphodiesterases 4B and 4D (PDE4B and PDE4D). Atomic coordinates are also provided for the crystal structure of the catalytic domain of human PDE4B (residues 152-528) determined using x-ray crystallog. of unliganded protein crystals and of cocrystals of PDE4B with 4-(3,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridine. Also described are the use of PDE4B crystals and structural information for identifying mol. scaffolds, for developing ligands that bind to and modulate PDE4B, and for identifying improved ligands based on known ligands.
IT 183208-35-7P, 5-Bromo-7-azaindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystal structure of human phosphodiesterase 4B and mol. modeling and activity of inhibitors)
RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



L9 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:152715 CAPLUS
DN 144:233089
TI Preparation of aryl-amino substituted pyrrolopyrimidine multi-kinase inhibiting compounds as antiproliferative, particularly antitumor agents
IN Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson, Graham; Gattrell, William; Kitchin, John; Pegg, Neil Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes, Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew
PA Osi Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006017443	A2	20060216	WO 2005-US27274	20050801
	WO 2006017443	A3	20070118		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2575808	A1	20060216	CA 2005-2575808	20050801
	US 2006211678	A1	20060921	US 2005-194158	20050801
PRAI	US 2004-598173P	P	20040802		
	US 2005-698516P	P	20050712		
	WO 2005-US27274	W	20050801		

OS MARPAT 144:233089
GI



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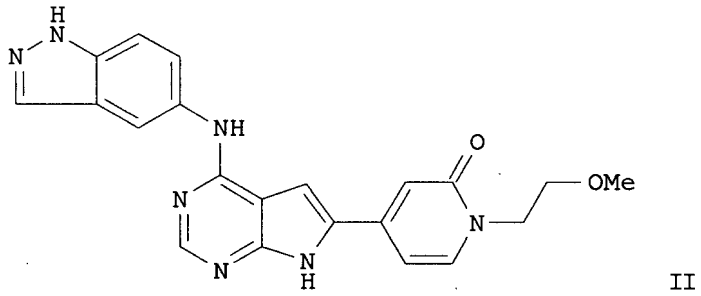


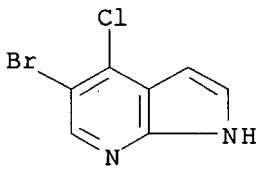
II

AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of least two of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mekl, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK,CaMKII, Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.

IT 876343-82-7P, 5-Bromo-4-chloro-1H-pyrrolo[2,3-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolopyrimidines multi-kinase inhibiting compds. as antitumor agents)

RN 876343-82-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-4-chloro- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:152549 CAPLUS

DN 144:232928
TI Preparation of heterocyclic compounds as novel antimalaria agents
IN Nakamoto, Kazutaka; Matsukura, Masayuki; Tanaka, Keigo; Inoue, Satoshi; Tsukada, Itaru; Haneda, Toru; Ueda, Norihiro; Abe, Shinya; Sagane, Koji
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006016548	A1	20060216	WO 2005-JP14505	20050808
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	WO 2005033079	A1	20050414	WO 2004-JP14063	20040927
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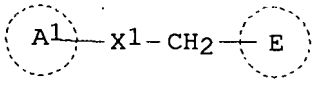
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI JP 2004-232617 A 20040809
WO 2004-JP14063 A 20040927
JP 2005-82760 A 20050322
JP 2003-342273 A 20030930
JP 2004-68186 A 20040310
OS MARPAT 144:232928
GI



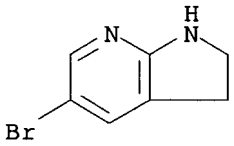
I

AB Antimalaria agents containing compds. represented by the formula (I) (wherein A1 = each optionally substituted 3-pyridyl or 6-quinolyl; X1 = -C(:Y1)-NH-; Y1 = O; E = each optionally substituted furyl, thienyl, or phenyl; provided that A1 may have one to three substituents and E has one or two substituents), salts of the compds., or hydrates of either are disclosed. Thus, a solution of 2-aminonicotinic acid and

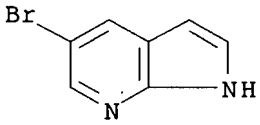
[[5-(3-chlorobenzyl)furan-2-yl]methyl]amine in DMF was treated with benzotriazol-1-yl-tris(dimethylamino)phosphonium hexafluorophosphate and Et3N and stirred at 80° for 40 min to give 2-amino-N-[5-(3-chlorobenzyl)furan-2-ylmethyl]nicotinamide (II). II showed min. inhibitory concentration of 6.25 μg/mL against yeast expressing plasmodium GWT1 gene (opfGWT1).

IT 115170-40-6P 183208-35-7P, 5-Bromo-1H-pyrrolo[2,3-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic compds. such as nicotinamide quinolinecarboxamide derivs. as antimalaria agents)

RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:117881 CAPLUS
DN 144:212758
TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators
IN Arnold, William D.; Bounaud, Pierre; Gosberg, Andreas; Li, Zhe; McDonald, Ian; Steensma, Ruo W.; Wilson, Mark E.
PA SGX Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006015123	A1	20060209	WO 2005-US26792	20050727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005269386 A1 20060209 AU 2005-269386 20050727

PRAI US 2004-591887P P 20040727

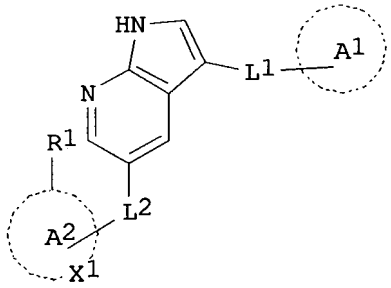
US 2004-591888P P 20040727

US 2005-683510P P 20050519

WO 2005-US26792 W 20050727

OS MARPAT 144:212758

GI



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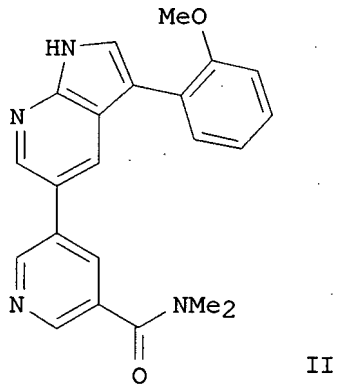


II

AB The title pyrrolo[2,3-b]pyridine derivs. I [wherein L1 and L2 = independently a bond, S, SO, SO2, O, NH, etc.; A1 = (un)substituted 6-membered (hetero)aryl; A2 = (un)substituted (hetero)cycloalkyl or (hetero)aryl; R1 = halo, CN, NO2, CF3, (un)substituted OH, NH2, etc.; X1 = S, O, (un)substituted -CH=, CH2, -N=, or NH] or pharmaceutically acceptable salts thereof were prepared as kinase modulators to treat diseases mediated by kinase activity. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC50 of <0.05 μM against Abl_T315.

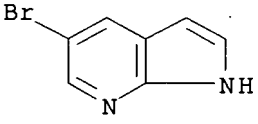
IT 183208-35-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrrolo[2,3-b]pyridine derivs. as kinase modulators)

RN 183208-35-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:324138 CAPLUS
DN 142:392428
TI Preparation of heterocyclic compounds as antifungal agents
IN Nakamoto, Kazutaka; Tsukada, Itaru; Tanaka, Keigo; Matsukura, Masayuki; Haneda, Toru; Inoue, Satoshi; Ueda, Norihiro; Abe, Shinya; Hata, Katsura; Watanabe, Naoaki
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005033079	A1	20050414	WO 2004-JP14063	20040927
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	EP 1669348	A1	20060614	EP 2004-788159	20040927
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	WO 2006016548	A1	20060216	WO 2005-JP14505	20050808
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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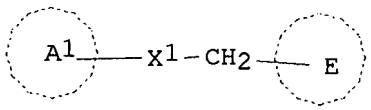
PRAI	JP 2003-342273	A	20030930
	JP 2004-68186	A	20040310
	JP 2004-232617	A	20040809
	WO 2004-JP14063	W	20040927
	JP 2005-82760	A	20050322

OS MARPAT 142:392428
GI

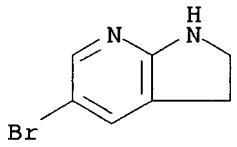


I

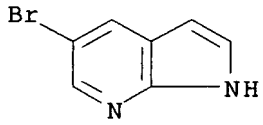
AB The title compds., e.g. I [ring A1 is optionally substituted 3-pyridyl, optionally substituted quinolyl, etc.; X1 is NHCO, etc.; and ring E is furyl, thienyl, pyrrolyl, Ph, pyridyl, tetrazolyl, thiazolyl, or pyrazolyl; provided that A1 may have one to three substituents and E has one or two substituents], are prepared 2,6-Diamino-N-(5-(4-fluorophenoxy)furan-2-ylmethyl)nicotinamide was prepared in a multistep process. Compds. of this invention in vitro showed MIC values of 0.1 μg/mL to 6.25 μg/mL against Candida.

IT 115170-40-6P 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as antifungal agents)

RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:158622 CAPLUS
DN 142:279952
TI Preparation of aralkanoates as inhibitors of prostaglandin and leukotriene production.
IN Shoda, Motoshi; Kuriyama, Hiroshi
PA Asahi Kasei Pharma Corporation, Japan
SO PCT Int. Appl., 687 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2005016862 A1 20050224 WO 2004-JP11952 20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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AU 2004265191 A1 20050224 AU 2004-265191 20040813

CA 2535665 A1 20050224 CA 2004-2535665 20040813

WO 2005016862 A1 20050224 WO 2004-XA11952 20040813
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WO 2005016862 A1 20050224 WO 2004-XB11952 20040813
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WO 2005016862 A1 20050224 WO 2004-XC11952 20040813
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EP 1660427 A1 20060531 EP 2004-771913 20040813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

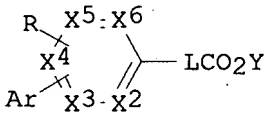
PRAI JP 2003-293590 A 20030814

US 2003-495734P P 20030818

WO 2004-JP11952 W 20040813

OS MARPAT 142:279952

GI

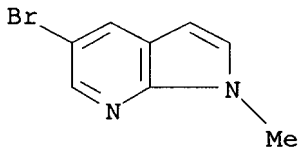


I

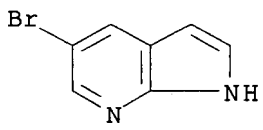
AB Title compds. [I; L = (unsatd.) C1-3 hydrocarbon chain; X2-X6 = CH, V; ≤1 of X2-X6 = V; V = N, CZ; Z = alkyl, F, Cl, Br, OH, alkoxy, amino, etc.; R = DRx, amino;, D = bond, O, S, SO, SO2, CO; Rx = alkyl, aminoalkyl, etc.; Ar = (substituted) partially or completely unsatd. condensed carbobicyclyl, heterocyclyl; Y = H, alkyl, aminoalkyl, etc.], were prepared Thus, Me 3-[4-cyclopentyloxy-3-(naphthalen-2-yl)phenyl]propionate (preparation outlined) and other I inhibited IL-1β induced PGE2 production by ≥50% at 1.0 μM. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

IT 183208-22-2P 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aralkanoates as inhibitors of prostaglandin and leukotriene production)

RN 183208-22-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)



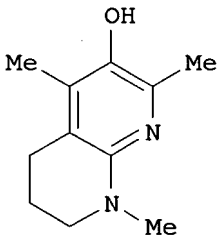
RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



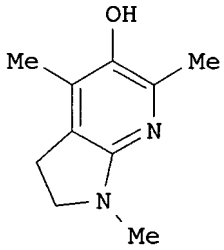
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:949809 CAPLUS
DN 142:93648
TI Synthesis and Reactivity of Some 6-Substituted-2,4-dimethyl-3-pyridinols, a Novel Class of Chain-Breaking Antioxidants
AU Wijtmans, Maikel; Pratt, Derek A.; Brinkhorst, Johan; Serwa, Remigiusz; Valgimigli, Luca; Pedulli, Gian Franco; Porter, Ned A.
CS Department of Chemistry, Vanderbilt University, Nashville, TN, 37215, USA
SO Journal of Organic Chemistry (2004), 69(26), 9215-9223

CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:93648
GI

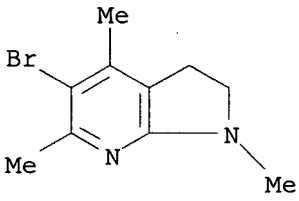


II

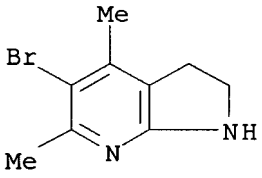


III

AB The synthesis and study of a series of 6-substituted-2,4-dimethyl-3-pyridinols having interesting antioxidant properties is reported. The general synthetic strategy leading to the compds. involved a low-temperature aryl bromide-to-alc. conversion as the last step. 2,4-Dimethyl-3-pyridinol (Ia), 2,4,6-trimethyl-3-pyridinol (Ib), and 2,4-dimethyl-6-(dimethylamino)-3-pyridinol (Id) were thus prepared from the corresponding 3-bromopyridine precursor. The methoxy derivative 2,4-dimethyl-6-(methoxy)-3-pyridinol (Ic) was also prepared by an alternate route via a Baeyer-Villiger reaction on the substituted benzaldehyde precursor. Novel bicyclic pyridinols II and III required prior construction of the ring structure. Thus, II was prepared by the use of a 6-step intramol. Friedel-Crafts strategy, and III required an 11-step sequence with a thermolytic intramol. inverse-demand Diels-Alder reaction between a pyrimidine ring and an alkyne as the key step. Basicities of the pyridinols approached physiol. pH with increasing electron d. in the ring. Pyridinols Ia-d were found to be indefinitely stable to air oxidation while II and III decomposed upon extended exposure to the atmospheric The reactivities of the pyridinols toward chain-carrying peroxyl radicals in homogeneous organic solution were examined by studying the kinetics of radical-initiated styrene autoxidns. under controlled conditions. These expts. revealed that some of the newly synthesized pyridinols are the most effective phenolic chain-breaking antioxidants reported to date.
IT 627098-12-8P 698974-43-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antioxidant activity of trimethyldihydropyrrolopyridinol via acetylation of pentynylaminopyrimidine followed by Van der Plas cyclization, deacetylation, bromination, Eschweiler-Clark methylation and finally hydroxylation)
RN 627098-12-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro-1,4,6-trimethyl- (9CI) (CA INDEX NAME)



RN 698974-43-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:80698 CAPLUS
DN 140:146173
TI Preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases
IN Bhide, Rajeev; Ruel, Rejean; Thibeault, Carl; L'heureux, Alexandre
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004009601	A1	20040129	WO 2003-US22554	20030718
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492665	A1	20040129	CA 2003-2492665	20030718
AU 2003254017	A1	20040209	AU 2003-254017	20030718
US 2004063707	A1	20040401	US 2003-622593	20030718
US 6969717	B2	20051129		
US 2004072832	A1	20040415	US 2003-623171	20030718
US 6869952	B2	20050322		
EP 1539763	A1	20050615	EP 2003-765754	20030718

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	CN 1681818	A	20051012	CN 2003-821820	20030718
	CN 1681508	A	20051012	CN 2003-821915	20030718
	JP 2005538990	T	20051222	JP 2004-523591	20030718
	CN 1903840	A	20070131	CN 2006-10115789	20030721
	US 2005124621	A1	20050609	US 2005-35248	20050113
	NO 2005000417	A	20050217	NO 2005-417	20050125
	US 2006058304	A1	20060316	US 2005-214267	20050829
PRAI	US 2002-397256P	P	20020719		
	US 2003-447213P	P	20030213		
	US 2003-622280	A	20030718		
	US 2003-622593	A3	20030718		
	US 2003-623171	A1	20030718		
	WO 2003-US22554	W	20030718		
	CN 2003-816201	A3	20030721		

OS MARPAT 140:146173

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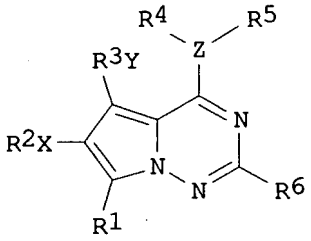


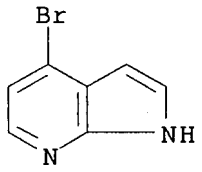
I

AB Title compds. I [Z = O, S, N, etc.; X, Y = O, OCO, S, etc.; R1 = H, CH3, OH, etc.; R2, R3 = H, (un)substituted alkyl, alkenyl etc.; R4 = (un)substituted 7-azaindolyl, e.g., F, Cl, Me; R5 = H, absent when Z = O, S; R6 = H, (un)substituted alkyl, aryl, etc.] and their pharmaceutically acceptable salts were prepared For example, electrophilic substitution of compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = Cl] with 4-fluoro-5-hydroxy-7-azaindole, e.g., prepared from 4-chloro-1H-pyrrolo[2,3-b]pyridine in 6-steps, afforded compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = 4-fluoro-7-azaindol-5-yloxy] in 80% yield. In VEGFR-2 and FGFR-1 kinase assays, 38-examples of compds. I exhibited IC50 values ranging from 0.001-10 μM. Of note, pyrrolotriazines I exhibited selective VEGFR-2 and FGFR-1 kinase inhibition (no data provided). Compds. I are claimed useful for the treatment of cancer, inflammation, autoimmune diseases.

IT 348640-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases)

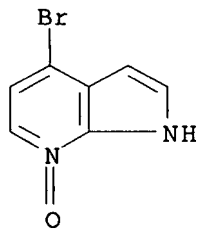
RN 348640-06-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)

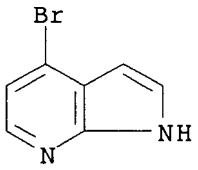


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:960502 CAPLUS
DN 140:77054
TI Concise and Efficient Synthesis of 4-Fluoro-1H-pyrrolo[2,3-b]pyridine
AU Thibault, Carl; L'Heureux, Alexandre; Bhide, Rajeev S.; Ruel, Rejean
CS Department of Discovery Chemistry, Pharmaceutical Research Institute, Bristol-Myers Squibb, Candiac, QC, J5R 1J1, Can.
SO Organic Letters (2003), 5(26), 5023-5025
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 140:77054
AB Two routes describing the preparation of 4-fluoro-1H-pyrrolo[2,3-b]pyridine from 1H-pyrrolo[2,3-b]pyridine N-oxide are presented. Regioselective fluorination was achieved using either the Balz-Schiemann reaction or Li-halogen exchange.
IT 640735-27-9P, 4-Bromo-1H-Pyrrolo[2,3-b]pyridine 7-oxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and attempted fluorination of)
RN 640735-27-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-, 7-oxide (9CI) (CA INDEX NAME)

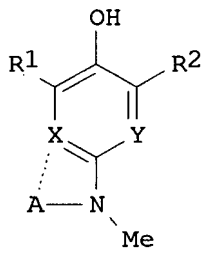


IT 348640-06-2P, 4-Bromo-1H-Pyrrolo[2,3-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regioselective fluorination of 1H-pyrrolo[2,3-b]pyridine N-oxide by lithium-halogen exchange)
RN 348640-06-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



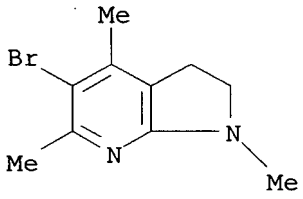
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:802023 CAPLUS
DN 139:395542
TI 6-Amino-3-pyridinols: Towards diffusion-controlled chain-breaking antioxidants
AU Wijtmans, Maikel; Pratt, Derek A.; Valgimigli, Luca; DiLabio, Gino A.; Pedulli, Gian Franco; Porter, Ned A.
CS Department of Chemistry, Vanderbilt University, Nashville, TN, 37235, USA
SO Angewandte Chemie, International Edition (2003), 42(36), 4370-4373
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 139:395542
GI

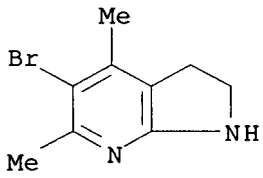


I

AB Title compds. I (A = (CH2)5, (CH2)4, CH3; R1 = CH3; R2 = CH3; X = CH, N; bond A...X = single, none) and 1-HO-2,6-(R1)2-4-R2C6H2 (R1 = H, CH3; R2 = H, CH3, OCH3, N(CH3)2) were phenolic antioxidants. The gas and solution-phase O-H bond dissociation enthalpy were calculated and exptl. determined on
substituent effects and inhibition rate consts.
IT 627098-12-8P 698974-43-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(ab initio and UV on diffusion-controlled chain-breaking antioxidants 6-Amino-3-pyridinols)
RN 627098-12-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro-1,4,6-trimethyl- (9CI) (CA INDEX NAME)

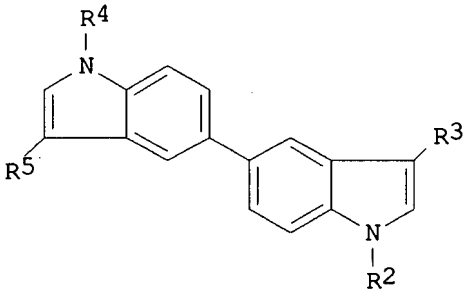


RN 698974-43-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:297060 CAPLUS
DN 139:133381
TI Synthesis of new melatonin analogues from dimers of azaindole and indole by use of Suzuki homocoupling
AU Guillard, Jerome; Larraya, Carlos; Viaud-Massuard, Marie-Claude
CS EA 3247 GRCHT Laboratoire de Chimie Organique, UFR des Sciences Pharmaceutiques, Universite de Tours, Tours, 37200, Fr.
SO Heterocycles (2003), 60(4), 865-877
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 139:133381
GI



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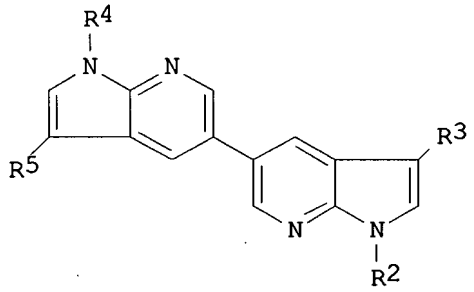


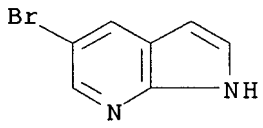
II

AB N-2-[3'-(2-Acetylaminoethyl)-1H,1'H-[5,5']biindol-3-yl]- and N-{2-[1'-(2-acetylaminoethyl)-1'H-[5,5'] biindol-1-yl]ethyl}acetamide (I; R2 = R4 = H, R3 = R5 = CH2CH2NHAc; R2 = R4 = CH2CH2NHAc, R3 = R5 = H; resp.) and their analogs in 7-azaindole series (II; R2 = R4 = Me, R3 = R5 = CH2CH2NHAc; R2 = R4 = CH2CH2NHAc, R3 = R5 = Me; resp.)were synthesized via Suzuki coupling reaction starting from indole or 7-azaindole using [1,1'-bis(diphenylphosphino)ferrocene] dichloropalladium as catalyst.

IT 183208-35-7P, 5-Bromo-7-azaindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-methylation of; preparation of melatonin analogs via palladium-catalyzed Suzuki homocoupling reactions of azaindole and indole dimers)

RN 183208-35-7 CAPLUS

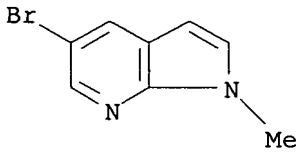
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



IT 183208-22-2P, 5-Bromo-1-methyl-7-azaindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of melatonin analogs via palladium-catalyzed Suzuki homocoupling reactions of azaindole and indole dimers)

RN 183208-22-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)

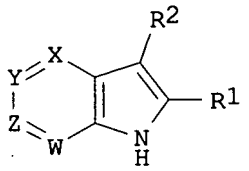


RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

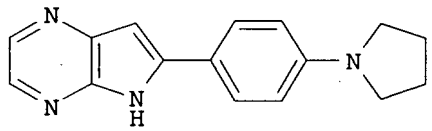
L9 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:5959 CAPLUS
DN 138:73275
TI Synthesis of heterocyclic compounds employing microwave technology
IN Majid, Tahir Nadeem; Deprets, Stephanie D.; Pedgrift, Brian L.
PA Aventis Pharmaceuticals Inc., USA
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000690	A1	20030103	WO 2002-US20206	20020625
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002310513	A1	20030108	AU 2002-310513	20020625
PRAI	US 2001-300733P	P	20010625		
	GB 2001-19307	A	20010808		
	WO 2002-US20206	W	20020625		

OS CASREACT 138:73275; MARPAT 138:73275
GI



I



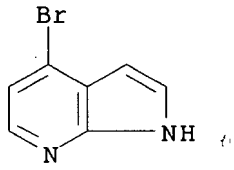
II

AB The heterocycles I (X = N, CR4, Y and Z = CH, CHR3, W = N; X = CR4, Y and W = N, Z = CR3; Y = CR3, Z and W = N, X = N, CR4; Y = bond, W = N, Z = CR5, X = O, S, NR6; Y = bond, W = N, X = CR4, Z = O, S, NR7; Y = bond, W = O, X = CR4, Z = N, CR5; Y = bond, W = O, X = N, Z = CR5; R1 = aryl, heteroaryl which may be optionally substituted; R2 = H, acyl, cyano, halo,

alkenyl, etc.; R3 = H, aryl cyano, halo, heteroaryl, etc.; R4 = H, halo, cyano, OH, nitro, etc.; R5 = cyano, H, amino, etc., R6 = H, cyano,, alkyl, cycloalkyl, CO2H, carbamoyl, etc.; R7 = H, alkyl) were prepared using microwave energy. Thus, a microwave tube was charged with 6-(4-trifluoromethylsulfonyloxyphenyl)-5H-pyrrolo[2,3-b]pyrazine, pyrrolidine and dioxane and DMF, and heated at 200° in an microwave oven for 1 h to give 6-(4-pyrrolidinophenyl)-5H-pyrrolo[2,3-b]pyrazine (II).

IT 348640-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of heterocyclic compds. employing microwave technol.)

RN 348640-06-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

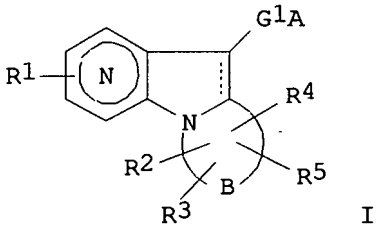
L9 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:279453 CAPLUS
DN 134:295809
TI Preparation of polycyclic azaindole derivatives and their affinity for melatonin receptors
IN Guillaumet, Gerald; Viaud, Marie-Claude; Van De Poel, Herve; Delagrange, Philippe; Bennejean, Caroline; Renard, Pierre
PA Adir Et Compagnie, Fr.
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1092717	A2	20010418	EP 2000-402832	20001013
	EP 1092717	A3	20011004		
	EP 1092717	B1	20030108		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2799757	A1	20010420	FR 1999-12900	19991015
	FR 2799757	B1	20011214		
	US 6495543	B1	20021217	US 2000-689578	20001012
	CA 2323720	A1	20010415	CA 2000-2323720	20001013
	CA 2323720	C	20050215		
	JP 2001114781	A	20010424	JP 2000-314109	20001013
	JP 3519357	B2	20040412		
	CN 1293195	A	20010502	CN 2000-130473	20001013
	ZA 2000005667	A	20010515	ZA 2000-5667	20001013
	BR 2000004823	A	20010522	BR 2000-4823	20001013
	HU 200004007	A2	20010528	HU 2000-4007	20001013

	AT 230745	T	20030115	AT 2000-402832	20001013
	PT 1092717	T	20030430	PT 2000-402832	20001013
	ES 2189730	T3	20030716	ES 2000-402832	20001013
	AU 772126	B2	20040408	AU 2000-66502	20001013
	NO 2000005200	A	20010417	NO 2000-5200	20001016
	NO 317884	B1	20041227		
	HK 1036061	A1	20041119	HK 2001-106736	20010925
	US 2003105087	A1	20030605	US 2002-267303	20021009
	US 2003134847	A1	20030717	US 2002-267238	20021009
	US 6667304	B2	20031223		
PRAI	FR 1999-12900	A	19991015		
	US 2000-689578	A3	20001012		

OS MARPAT 134:295809

GI



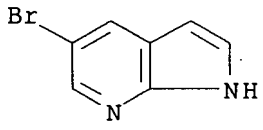
I

AB The title compds. I [the N atom in the ring may be in any position in the ring; R1 = NRCR'(Z), halo, R, S(O)nR, etc.; A = C(Z)NRR', NRC(Z)R', etc.; B forms with an atom of N and an atom of C a ring; R2, R3 = H, alkyl, alkoxy, OH, R2R3 = oxo; R4, R5 = H, or form with two adjacent atoms in ring B an aryl or heteroaryl group; G1 = alkylene] were prepared The affinity of I for melatonin receptors was determined E.g., [2-(2-methoxy-6H-pyrido[2',3':4,5]pyrrolo[2,1-a]isoindol-11-yl)ethyl]acetamide was prepared

IT 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polycyclic azaindole derivs. and their affinity for melatonin receptors)

RN 183208-35-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



L9 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:772459 CAPLUS

DN 133:321893

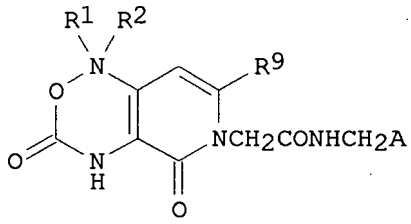
TI Preparation of thrombin inhibitors

IN Coburn, Craig; Vacca, Joseph P.

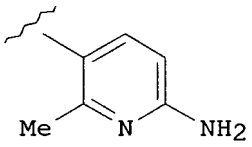
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

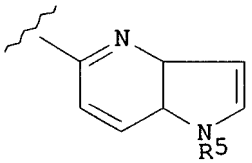
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000064449	A1	20001102	WO 2000-US10521	20000419
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6239132	B1	20010529	US 2000-551009	20000418
	CA 2369109	A1	20001102	CA 2000-2369109	20000419
	EP 1187616	A1	20020320	EP 2000-926127	20000419
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002542292	T	20021210	JP 2000-613440	20000419
	AU 762908	B2	20030710	AU 2000-44706	20000419
PRAI	US 1999-130670P	P	19990423		
	WO 2000-US10521	W	20000419		

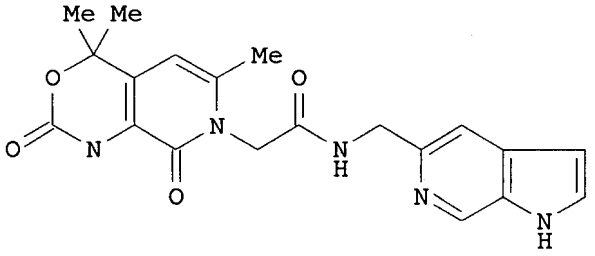
OS MARPAT 133:321893
GI



I

Q1= 

Q2= 



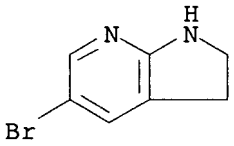
II

AB Heterocyclic compds. I [A = Q1, Q2, etc.; R5 = H, alkyl, cycloalkyl, halo, NH2, OH, alkoxy; R34 = H, Cl, F, alkyl, NH2; Y = H, Cl, F; R1, R2 = H, (un)substituted Ph, CF3, etc.; R9 = alkyl, SO2NH2], thrombin inhibitors, were prepared E.g., II was prepared

IT 115170-40-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thrombin inhibitors)

RN 115170-40-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:241415 CAPLUS

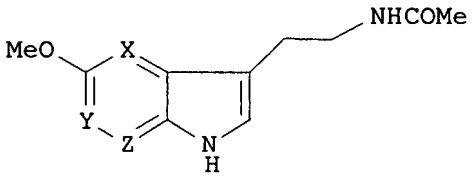
DN 131:44684

TI Synthesis of new melatoninergic ligands including azaindole moiety

AU Mazeas, Daniel; Guillaumet, Gerald; Viaud, Marie-Claude

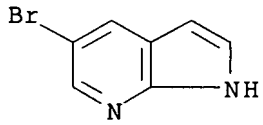
CS Institut de Chimie Organique et Analytique, associe au CNRS, Universite d'Orleans, Orleans, 45067, Fr.

SO Heterocycles (1999), 50(2), 1065-1080
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 131:44684
GI



I

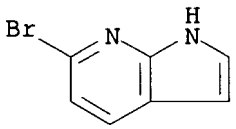
AB A novel series of melatonin analogs I (Z = N, X = Y = CH; Y = N, X = Z = CH; X = N, Z = Y = CH) based on the azaindole nucleus is described. These compds. are prepared in several steps directly from the com. available 7-azaindole or from substituted amino-, iodo- or/and nitropyridines using a catalyzed palladium reaction or vicarious nucleophilic substitution of hydrogen (VNS) in order to elaborate the 6-, 5- and 4-azaindole derivs. resp.
IT 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of new melatoninergic ligands including azaindole moiety)
RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:335159 CAPLUS
DN 126:339974
TI Synthesis and biological activity of 1H-pyrrolo[2,3-b]pyridine derivatives: Correlation between inhibitory activity against the fungus causing rice blast and ionization potential
AU Minakata, Satoshi; Hamada, Takayuki; Komatsu, Mitsuo; Tsuboi, Hiroyuki; Kikuta, Hiroshige; Ohshiro, Yoshiki
CS Faculty of Engineering, Osaka University, Suita, 565, Japan
SO Journal of Agricultural and Food Chemistry (1997), 45(6), 2345-2348
CODEN: JAFCAU; ISSN: 0021-8561
PB American Chemical Society
DT Journal
LA English

OS CASREACT 126:339974
AB Synthesis and biol. activity of 3- and 6-substituted 1H-pyrrolo[2,3-b]pyridine (7-azaindole) derivs. are described. Many of the synthesized 7-azaindoles exhibited fungicidal activity toward Pyricularia oryzae, a fungus which causes rice blast, in vivo. When quantum parameters of the tested 7-azaindoles were evaluated by semiempirical MO calcns., a relationship was observed between the activity and the calculated ionization potentials of the 7-azaindole derivs.
IT 143468-13-7P
RL: AGR (Agricultural use); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of pyrrolopyridine derivs., correlated with ionization potential)
RN 143468-13-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-bromo- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

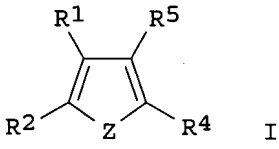
L9 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:685279 CAPLUS
DN 125:328699
TI Preparation of N-(pyrrolopyridylalkyl)alkanamides and analogs as melatonin receptor ligands
IN Viaud, Marie-Claude; Guillaumet, Gerald; Mazeas, Daniel; Vandepoel, Herve; Renard, Pierre; Pfeiffer, Bruno; Delagrange, Philippe
PA Adir Et Compagnie, Fr.
SO Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 737685	A1	19961016	EP 1996-400778	19960411
	EP 737685	B1	20000719		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	FR 2732969	A1	19961018	FR 1995-4504	19950414
	FR 2732969	B1	19970516		
	AT 194839	T	20000815	AT 1996-400778	19960411
	PT 737685	T	20001031	PT 1996-400778	19960411
	ES 2150642	T3	20001201	ES 1996-400778	19960411
	CA 2174033	A1	19961015	CA 1996-2174033	19960412
	CA 2174033	C	20010724		
	NO 9601457	A	19961015	NO 1996-1457	19960412
	ZA 9602934	A	19961017	ZA 1996-2934	19960412
	AU 9650629	A	19961024	AU 1996-50629	19960412
	AU 700071	B2	19981217		
	CN 1139111	A	19970101	CN 1996-104624	19960412
	CN 1058967	B	20001129		

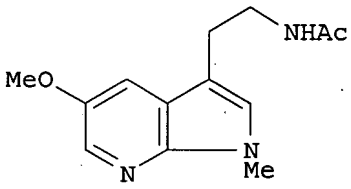
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 737685	A1	19961016	EP 1996-400778	19960411
	EP 737685	B1	20000719		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	FR 2732969	A1	19961018	FR 1995-4504	19950414
	FR 2732969	B1	19970516		
	AT 194839	T	20000815	AT 1996-400778	19960411
	PT 737685	T	20001031	PT 1996-400778	19960411
	ES 2150642	T3	20001201	ES 1996-400778	19960411
	CA 2174033	A1	19961015	CA 1996-2174033	19960412
	CA 2174033	C	20010724		
	NO 9601457	A	19961015	NO 1996-1457	19960412
	ZA 9602934	A	19961017	ZA 1996-2934	19960412
	AU 9650629	A	19961024	AU 1996-50629	19960412
	AU 700071	B2	19981217		
	CN 1139111	A	19970101	CN 1996-104624	19960412
	CN 1058967	B	20001129		
	US 5714495	A	19980203	US 1996-631234	19960412
	JP 08291172	A	19961105	JP 1996-92428	19960415
	JP 3723274	B2	20051207		
	GR 3034620	T3	20010131	GR 2000-402305	20001013
PRAI	FR 1995-4504	A	19950414		

OS CASREACT 125:328699; MARPAT 125:328699
GI



I

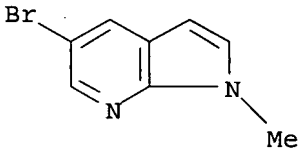


II

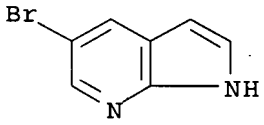
AB Title compds. [I; R1R2 = (un)substituted CH:CHCH:N, -CH:CHN:CH, -CH:NCH:CH, -N:CHCH:CH; R4 = H, halo, OH, alkoxyalkyl, etc.; R5 = Z1Z2R; R = H, (cyclo)alkyl, alkenyl, etc.; Z = O, S, (alkyl)imino, etc.; Z1 = alkylene; Z2 = NR6C(:X), NR6C(:X)NH, C(:X)NR6; R6 = H, alkyl, aryl(alkyl), etc.; X = O or S] were prepared as melatonin receptor ligands (no data). Thus, pyrrolo[2,3-b]pyridine was converted in 11 steps to title compound II.

IT 183208-22-2P 183208-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(pyrrolopyridylalkyl)alkanamides and analogs as melatonin receptor ligands)

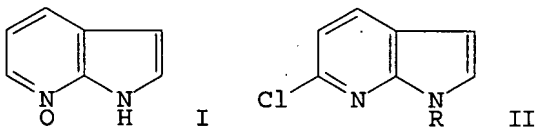
RN 183208-22-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)



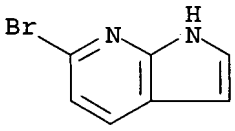
RN 183208-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



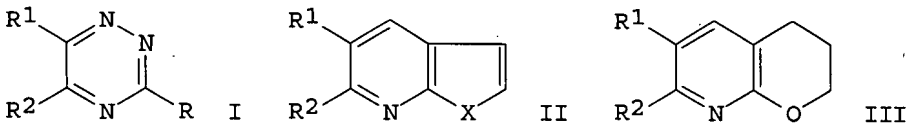
L9 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:571266 CAPLUS
DN 117:171266
TI Regioselective functionalization of 1H-pyrrolo[2,3-b]pyridine via its N-oxide
AU Minakata, Satoshi; Komatsu, Mitsuo; Ohshiro, Yoshiki
CS Fac. Eng., Osaka Univ., Suita, 565, Japan
SO Synthesis (1992), (7), 661-3
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 117:171266
GI



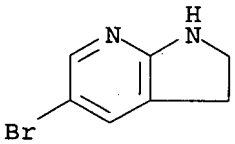
AB Selective functionalization of 1H-pyrrolo[2,3-b]pyridine (7-azaindole) at the 6-position was achieved by Reissert-Henze type reaction. Thus, the title oxide (I) was treated with BzCl and hexamethyldisilazane in THF to give 60% the benzoylbromopyrropyridine II (R = Bz), which was treated with 1 N NaOH in MeOH to give II (R = H). Similarly, other halo (Br, iodo), cyano and thiocyanato groups were directly introduced onto the pyridine ring of 7-azaindole.
IT 143468-13-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 143468-13-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-bromo- (CA INDEX NAME)



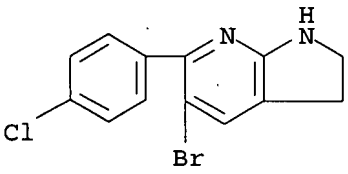
L9 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1988:437757 CAPLUS
DN 109:37757
TI Intramolecular Diels-Alder reactions of 1,2,4-triazines. A general synthesis of furo[2,3-b]pyridines, 2,3-dihydropyrano[2,3-b]pyridines, and pyrrolo[2,3-b]pyridines
AU Taylor, Edward C.; Macor, John E.; Pont, Joseph L.
CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
SO Tetrahedron (1987), 43(21), 5145-58
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 109:37757
GI



AB Substitution of 3-methylsulfonyl-1,2,4-triazines, e.g. I (R = SO2Me; R1 = R2 = H, Me, Ph; R1 = H, R2 = Ph, 4-ClC6H4, 4-FC6H4) with 3-butyn-1-ol or 1-amino-3-butyne gave triazine derivs. I (R = XCH2CH2C.tplbond.CH, X = NH, O) in 45-97% yields. Thermal cyclization, followed by dehydrogenation with DDQ gave furo- and pyrrolo[2,3-b]pyridines II (X = NH, O). Similar thermal cyclization of I [R = O(CH2)3C.tplbond.CH] gave dihydropyrano[2,3-b]pyridines III in 20-81% yields.
IT 115170-40-6P 115170-41-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 115170-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 115170-41-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-6-(4-chlorophenyl)-2,3-dihydro- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.09	394.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.18	-29.59

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:15:33 ON 27 APR 2007